

	Type	L#	Hits	Search Text	DBs	Time Stamp	Comments	Error Definition	Errors
1	BRS	L1	290	echinocandin	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:32			0
2	BRS	L3	28828	antifungal	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:32			0
3	BRS	L4	13	2 same 3	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:33			0
4	BRS	L5	5159	anti-fungal	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:33			0
5	BRS	L6	4	2 same 5	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:37			0
6	BRS	L7	1	wo-9613272-\$ did.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 09:37			0
7	BRS	L2	24	echinocandin adj derivative	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:07			0
8	BRS	L8	166	1 same (3 or 5)	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:36			0
9	BRS	L9	36	fauveau adj patrick.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:37			0
10	BRS	L10	4	hawser adj stephen.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:38			0
11	BRS	L11	0	lebourg adj gilles.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:39			0
12	BRS	L12	9	schio adj laurent.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:39			0
13	BRS	L13	4	(9 or 10 or 12) and 2	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/03 10:40			0

=> d his

(FILE 'HOME' ENTERED AT 15:19:38 ON 03 SEP 2003)

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH, AGRICOLA'
ENTERED AT

15:20:04 ON 03 SEP 2003

L1 1691 S ECHINOCANDIN
L2 379 S L1 (P) DERIVATIVE
L3 115 S ECHINOCANDIN DERIVATIVE
L4 121417 S ANTI-FUNGAL OR ANTIFUNGAL
L5 159 S (L2 OR L3) (P) L4
L6 72 DUPLICATE REMOVE L5 (87 DUPLICATES REMOVED)
L7 18 S FAUVEAU PATRICK/AU
L8 15 S HAWSER STEPHEN/AU
L9 1 S LEBOURG GILLES/AU
L10 22 S SCHIO LAURENT/AU
L11 5 S (L10 OR L7 OR L8 OR L9) AND L6

The STN search turned up 36 cpds in 2 citations
only 18 cpds were in the 2 cites. these are the
KAM 10/018, 073

=> d 145 1-18

L45 ANSWER 1 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
RN 310462-00-1 REGISTRY

CN Deoxymulundocandin, 1-[(4S)-4-[[[(1R,2R)-2-aminocyclohexyl]amino]-N2-[[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA
INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C63 H83 N9 O14

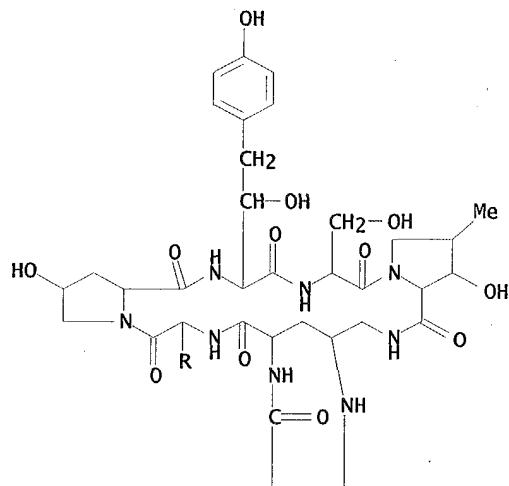
CI COM

SR CA

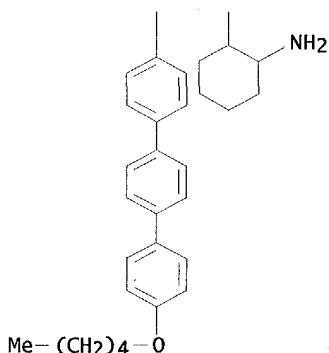
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Registry records for the
remaining 18. The Reg # are
really high - so
these must be
pretty new & not
yet assigned to
a citation.

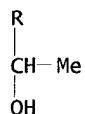
PAGE 1-A



PAGE 2-A



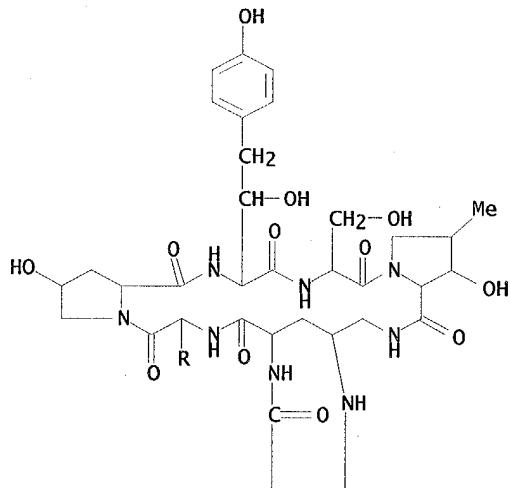
PAGE 3-A



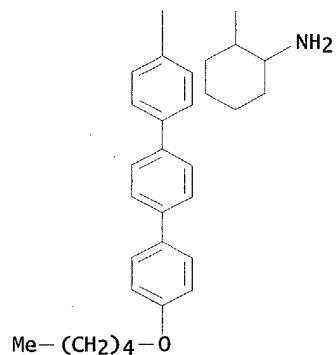
L45 ANSWER 2 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310461-98-4 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C63 H83 N9 O14
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

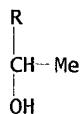
PAGE 1-A



PAGE 2-A



PAGE 3-A

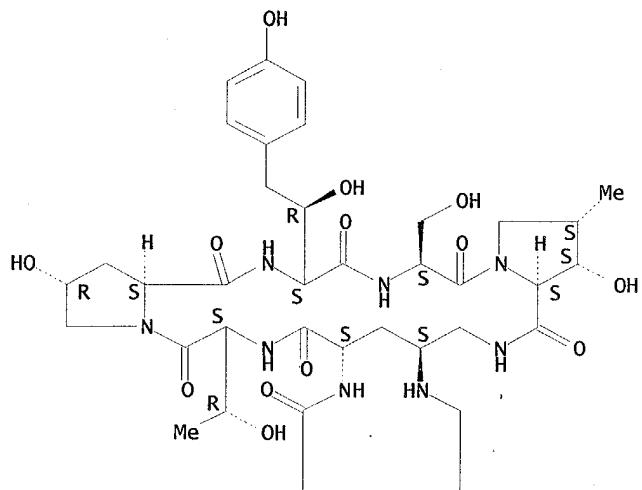


L45 ANSWER 3 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310461-96-2 REGISTRY
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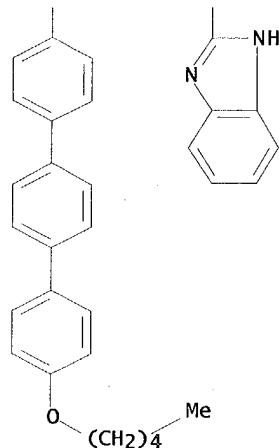
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

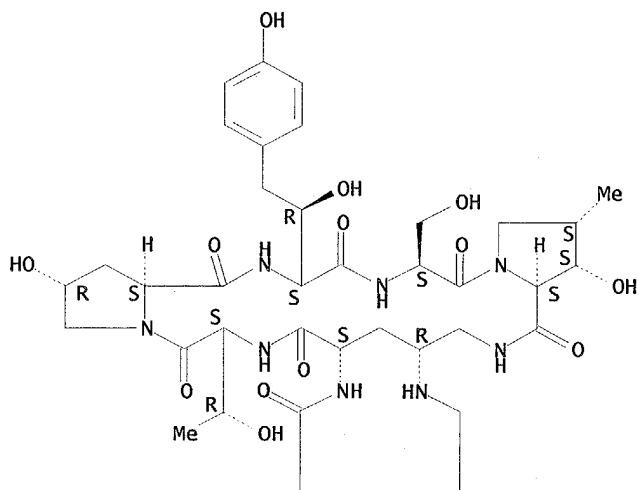


L45 ANSWER 4 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C65 H78 N10 O14
 CI COM
 SR CA

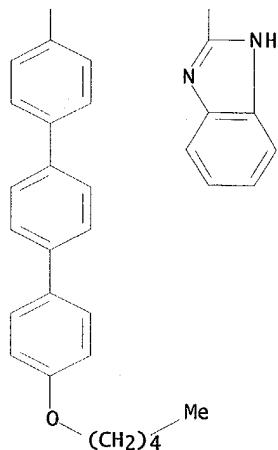
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L45 ANSWER 5 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN

RN 310461-88-2 REGISTRY

CN Deoxymulundocandin, 1-[(4S)-4-[[[(2S)-2-aminopropyl]amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

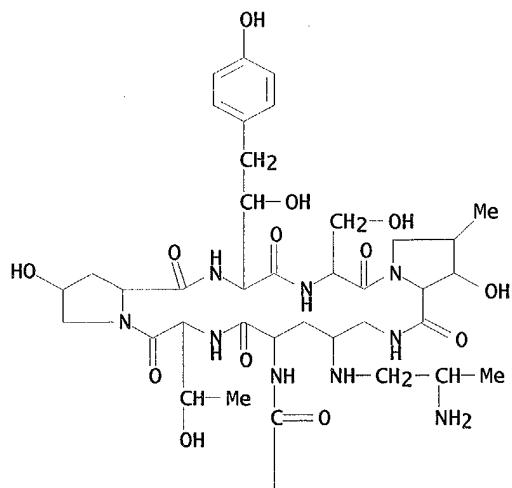
MF C57 H81 N9 O14

CI COM

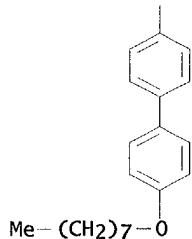
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RELATED SEQUENCES AVAILABLE WITH SEQLINK

PAGE 1-A



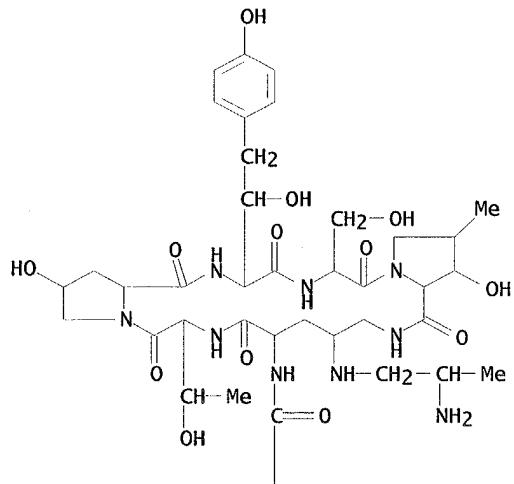
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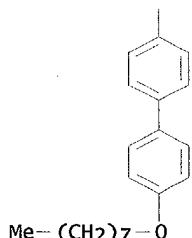
L45 ANSWER 6 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310461-85-9 REGISTRY
 CN Deoxymulundocandin, 1-[(4R)-4-[(2S)-2-aminopropyl]amino]-N2-[[4'-
 (Octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C57 H81 N9 O14
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

PAGE 1-A



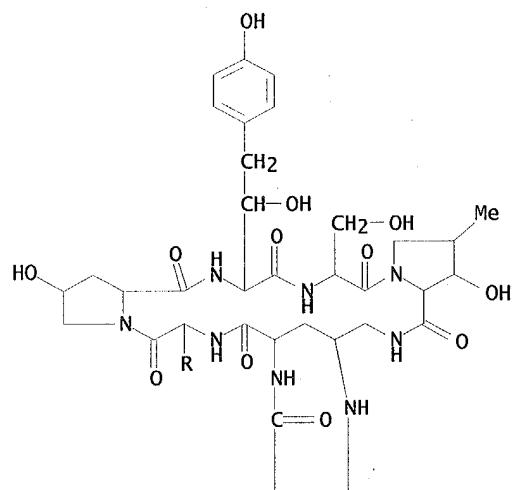
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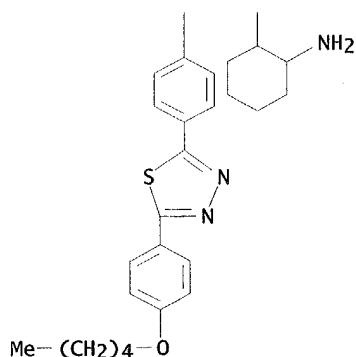
L45 ANSWER 7 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-69-9 REGISTRY
 CN Deoxymulundocandin, 1-[(4S)-4-[[[(1R,2R)-2-aminocyclohexyl]amino]-N2-[4-[5-[4-(pentyloxy)phenyl]-1,3,4-thiadiazol-2-yl]benzoyl]-L-ornithine]- (9CI)
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C59 H79 N11 O14 S
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

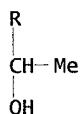
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PAGE 2-A



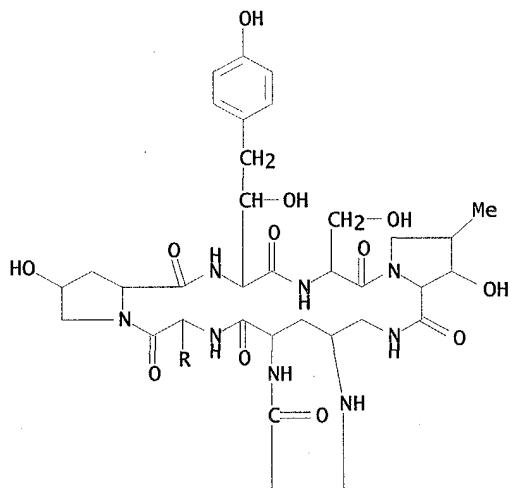
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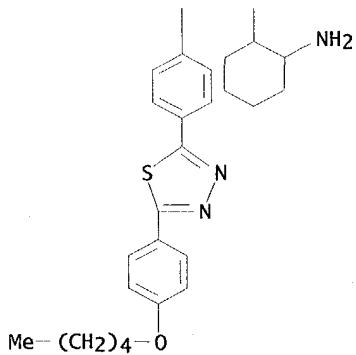
L45 ANSWER 8 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-66-6 REGISTRY
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 (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C59 H79 N11 O14 S
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

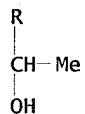
PAGE 1-A



PAGE 2-A



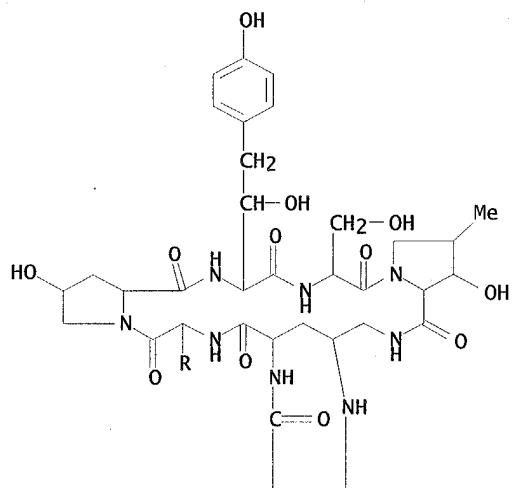
PAGE 3-A



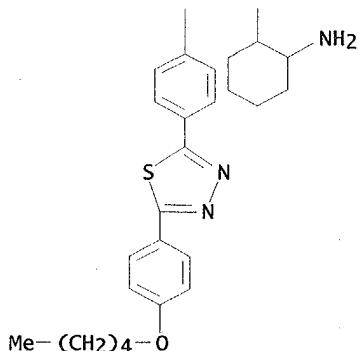
L45 ANSWER 9 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-60-0 REGISTRY
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 MF C59 H79 N11 O14 S
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

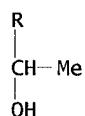
PAGE 1-A



PAGE 2-A



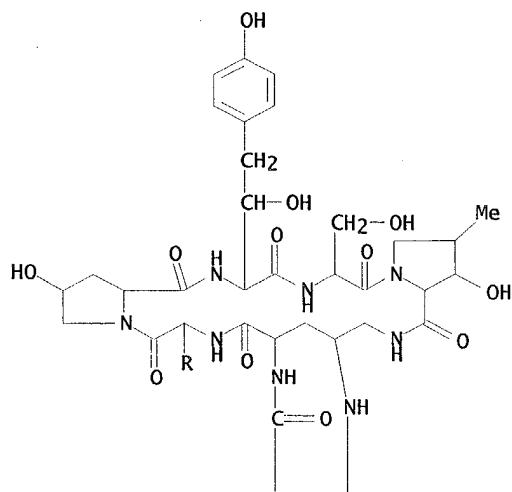
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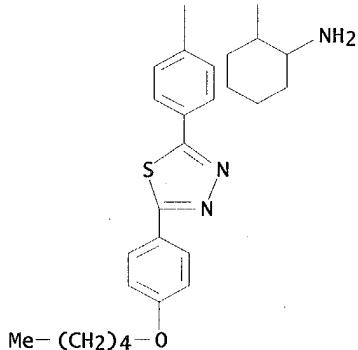
L45 ANSWER 10 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-57-5 REGISTRY
 CN Deoxymulundocandin, 1-[(4R)-4-[(1S,2S)-2-aminocyclohexyl]amino]-N2-[4-[(5-
 [4-(pentyloxy)phenyl]-1,3,4-thiadiazol-2-yl]benzoyl]-L-ornithine]- (9CI)
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C59 H79 N11 O14 S
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

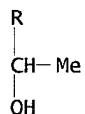
PAGE 1-A



PAGE 2-A



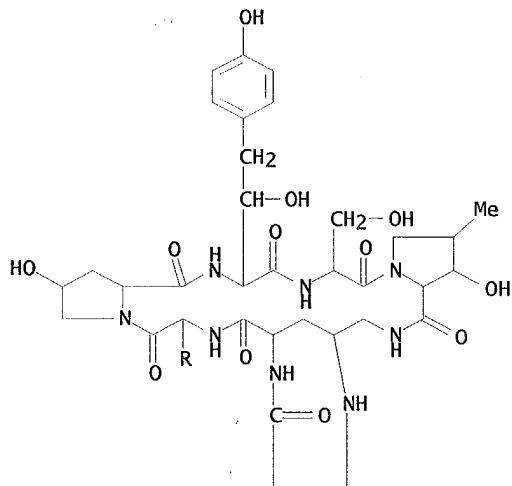
PAGE 3-A



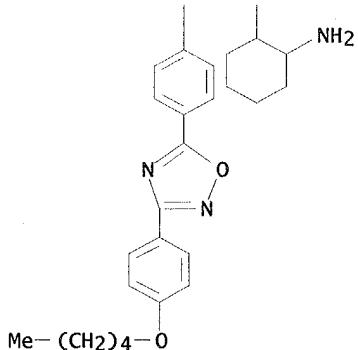
L45 ANSWER 11 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-41-7 REGISTRY
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 CI COM
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RELATED SEQUENCES AVAILABLE WITH SEQLINK

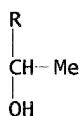
PAGE 1-A



PAGE 2-A



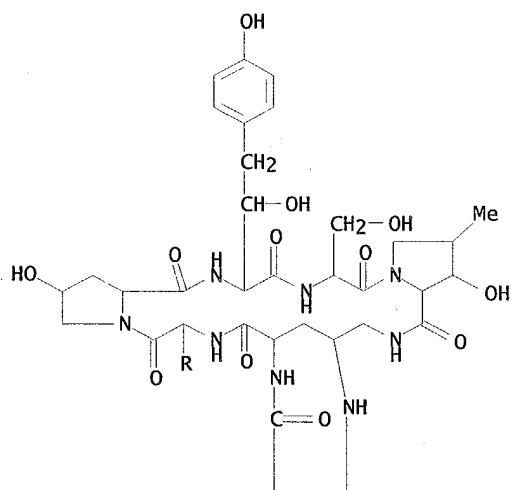
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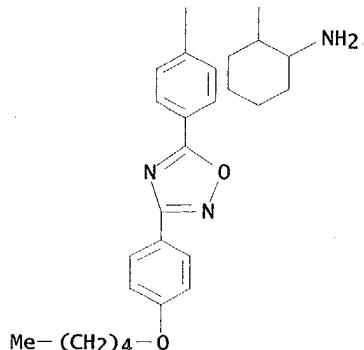
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 RN 310459-38-2 REGISTRY
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 MF C59 H79 N11 O15
 CI COM
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RELATED SEQUENCES AVAILABLE WITH SEQLINK

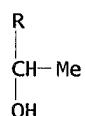
PAGE 1-A



PAGE 2-A



PAGE 3-A

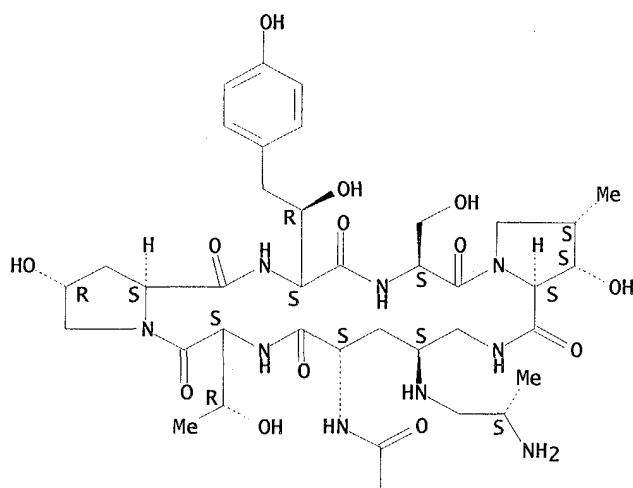


L45 ANSWER 13 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
RN 310459-35-9 REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C57 H76 N10 O15
CI COM
SR CA

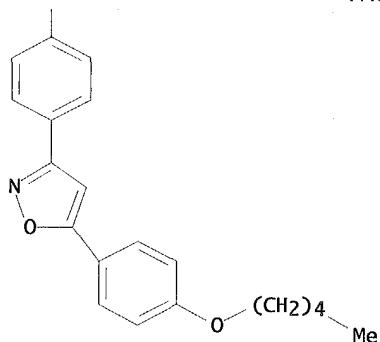
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

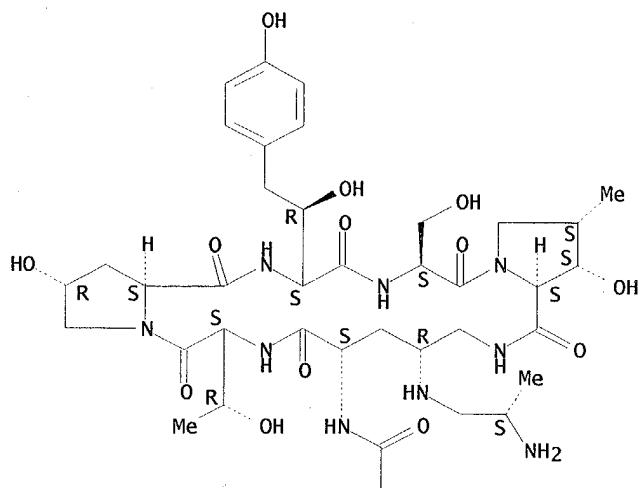


L45 ANSWER 14 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-32-6 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C57 H76 N10 O15
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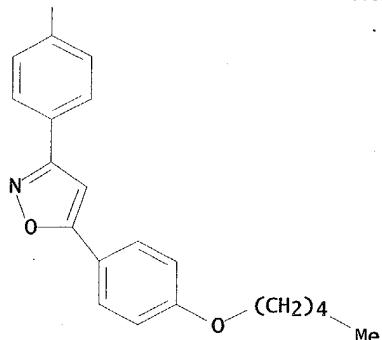
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



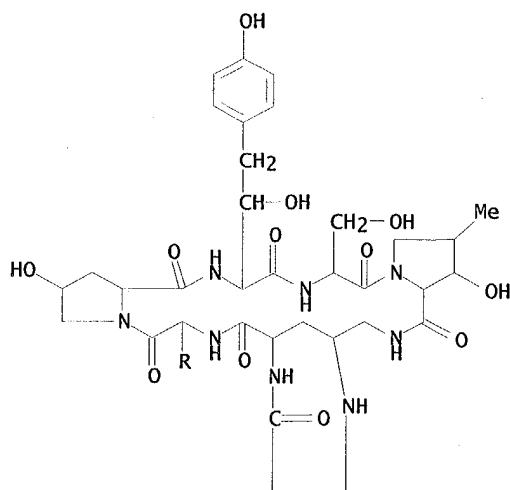
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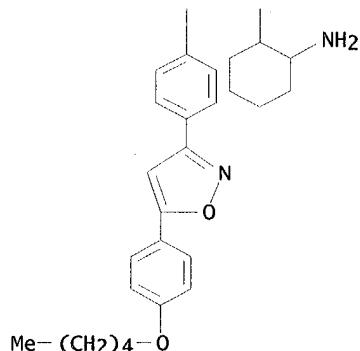
L45 ANSWER 15 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-29-1 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C60 H80 N10 O15
 CI COM
 SR CA

RELATED SEQUENCES AVAILABLE WITH SEQLINK

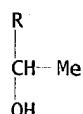
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PAGE 2-A



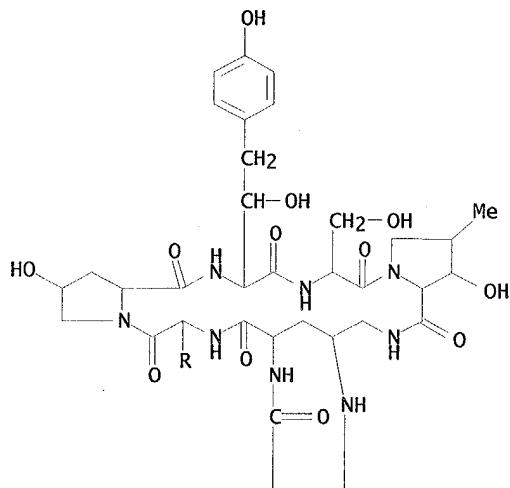
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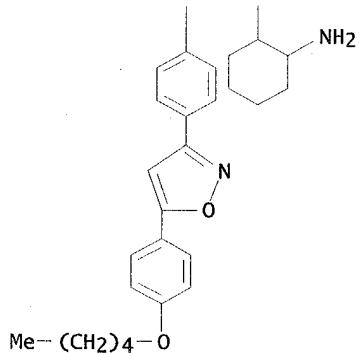
L45 ANSWER 16 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-26-8 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
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 CI COM
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RELATED SEQUENCES AVAILABLE WITH SEQLINK

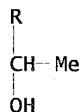
PAGE 1-A



PAGE 2-A



PAGE 3-A

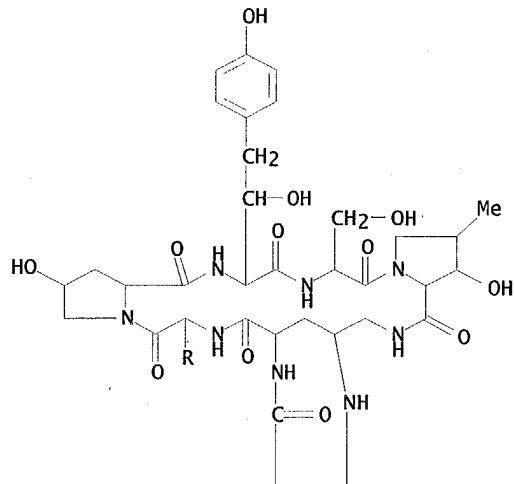


L45 ANSWER 17 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 310459-22-4 REGISTRY
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 CI COM
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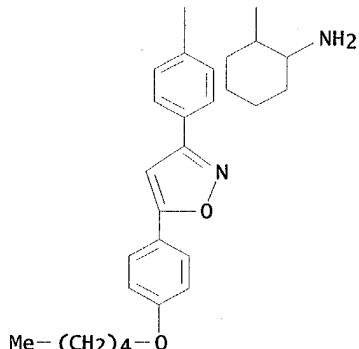
KAM 10/018,073

RELATED SEQUENCES AVAILABLE WITH SEQLINK

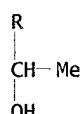
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PAGE 2-A



PAGE 3-A

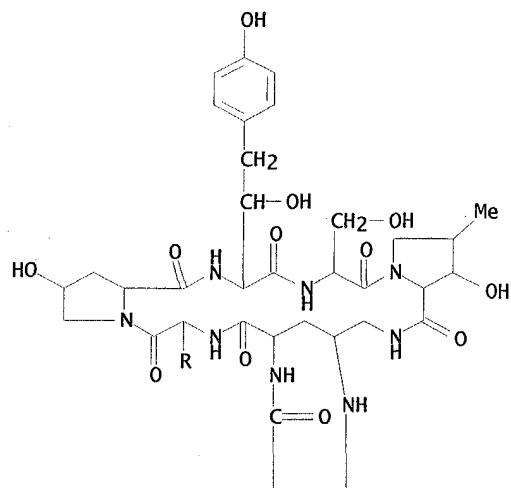


L45 ANSWER 18 OF 18 REGISTRY COPYRIGHT 2003 ACS on STN
RN 310459-19-9 REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C60 H80 N10 O15
CI COM
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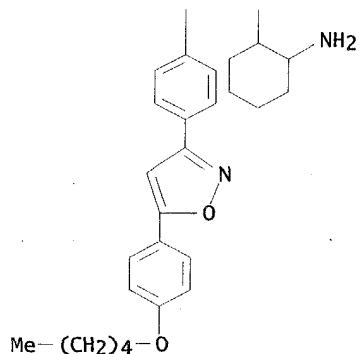
KAM 10/018,073

RELATED SEQUENCES AVAILABLE WITH SEQLINK

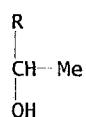
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PAGE 2-A



PAGE 3-A



Inventor Search

KAM 10/018,073

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:881186 CAPLUS
 DOCUMENT NUMBER: 134:17731
 TITLE: Echinocandin derivatives, method for preparing same
 and application as glucan synthase inhibitors and
 antifungal agents
 INVENTOR(S): Fauveau, Patrick; Hawser, Stephen; Lebourg, Gilles;
 Schio, Laurent
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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FR 2794746	B1	20021206		
EP 1189933	A1	20020327	EP 2000-942169	20000608
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
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			WO 2000-FR1568	W 20000608
OTHER SOURCE(S):	CASREACT 134:17731; MARPAT 134:17731			
GI				

PCT document
 Parent case

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns in all possible isomeric forms as well as their mixts., cyclic peptides I wherein: R represents a linear, branched or cyclic chain; either R1 represents H or CH₃ and R2 represents cyclohexyl substituted by an amine, cyanoalkyl ; or R1 and R2 form with the nitrogen which bears them a cycle with 3, 4 or 5 carbons optionally substituted by an amine; R3 represents hydrogen, Me or hydroxyl; R4 represents hydrogen or hydroxyl; T represents hydrogen, Me, CH₂CONH₂, CH₂CN, a (CH₂)₂NH₂ or (CH₂)₂Nalk+X- radical, X being halogen and alk an alkyl radical; Y

represents hydrogen, hydroxyl, halogen or OSO₃H; W represents H or OH; Z represents H, CH₃. The compds. of formula I have antifungal properties. Thus, Trans 1-[4-[(2-aminocyclohexyl)amino]-N₂-[[4'-(pentyloxy)[1,1':4',1''terphenyl]-4-yl]carbonyl]-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandine B trifluoroacetate was prep'd. and tested for its inhibition of glucan synthase of *Candida albicans* and of the enzyme prep'd. from *Aspergillus fumigatus*.

IT 310461-86-0P 310461-89-3P 310461-95-1P
310461-97-3P 310461-99-5P 310462-01-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

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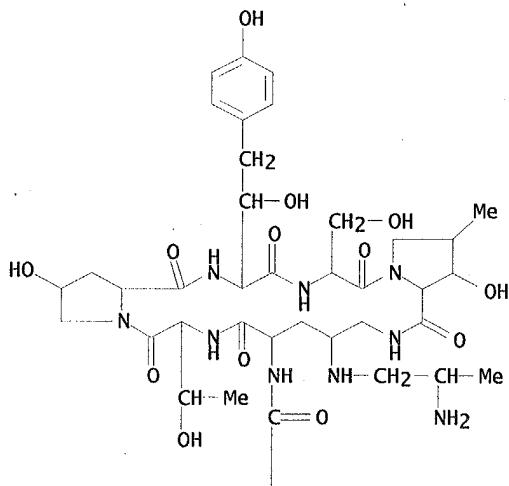
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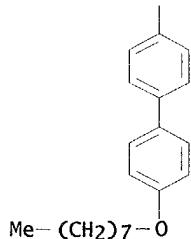
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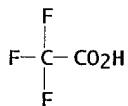


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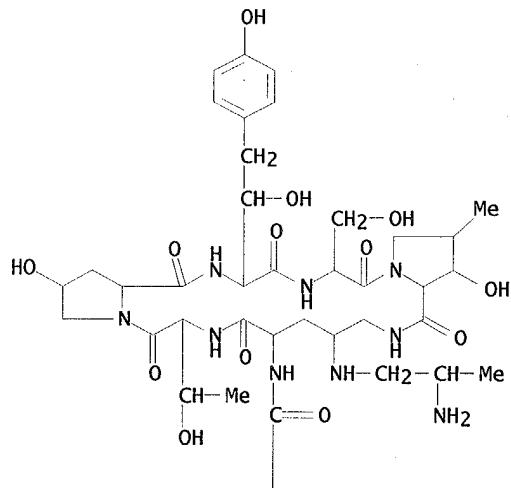


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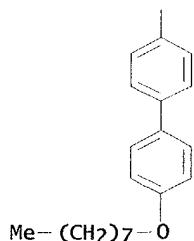
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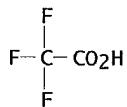
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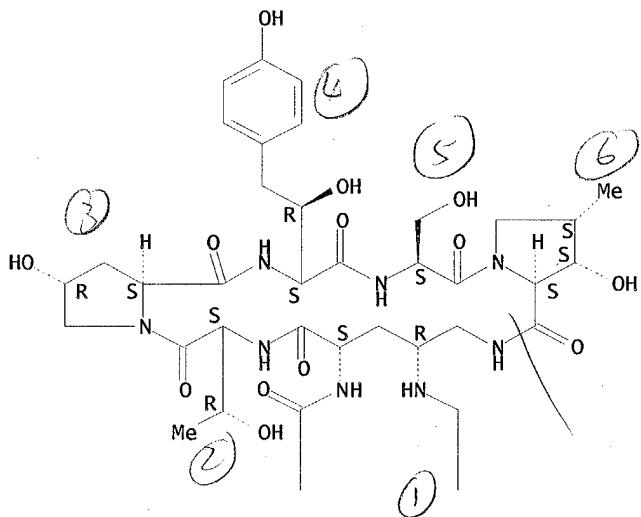
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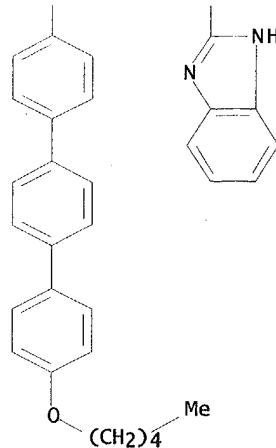
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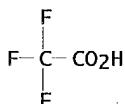


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claim 15
OR
next page

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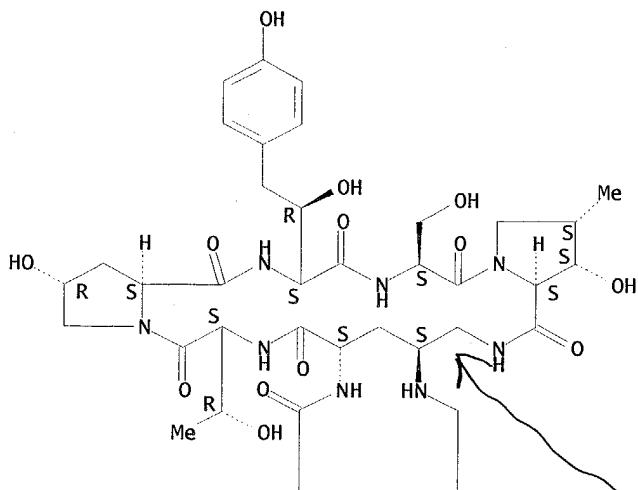
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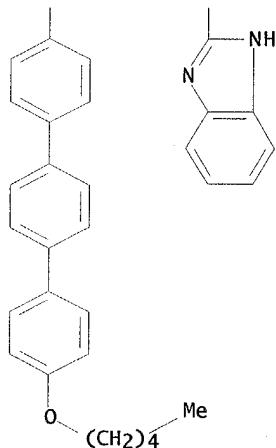
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Absolute stereochemistry.

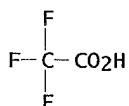
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PAGE 2-A



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KAM 10/018,073

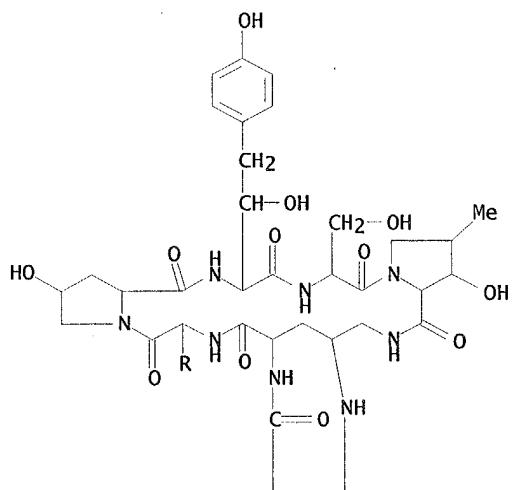
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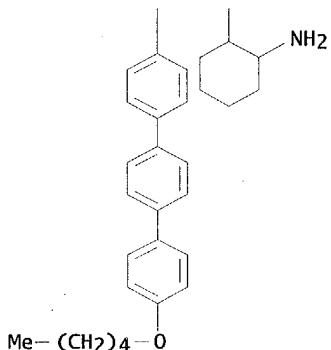
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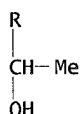
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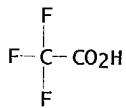
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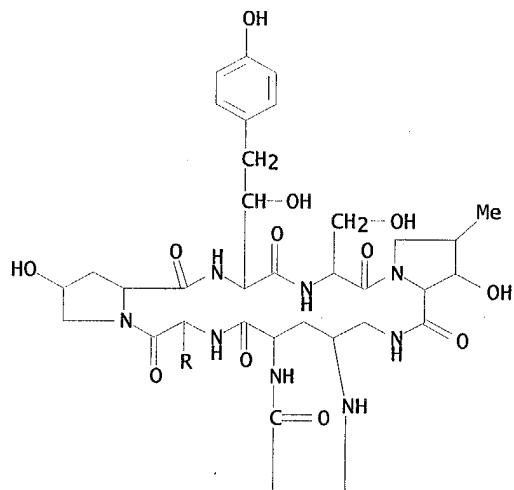


RN 310462-01-2 CAPLUS
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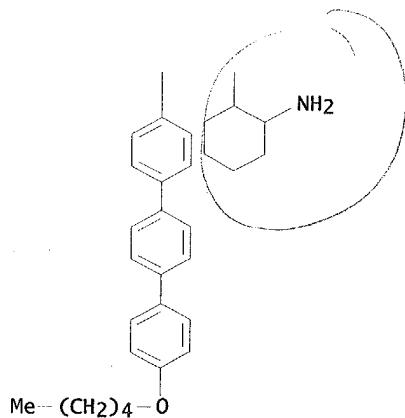
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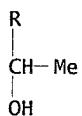


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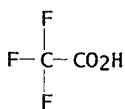
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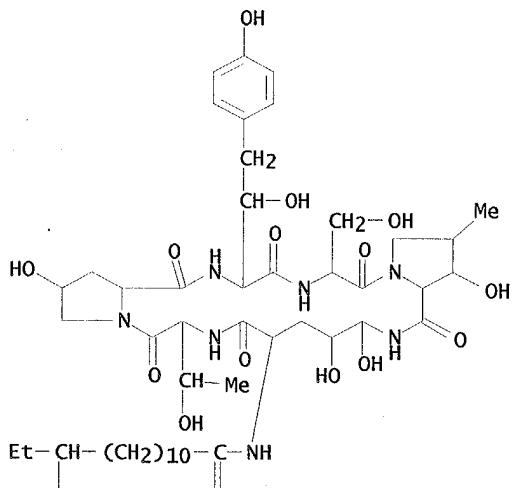
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CRN 76-05-1
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IT 138626-63-8, Deoxymulundocandin 227472-60-8
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 (echinocandin derivs., method for prep. same and application as glucan
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RN 138626-63-8 CAPLUS
 CN Deoxymulundocandin (9CI) (CA INDEX NAME)

PAGE 1-A

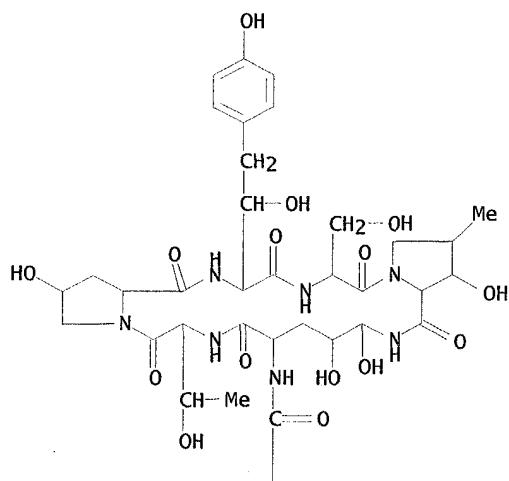


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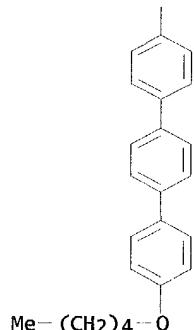


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PAGE 1-A

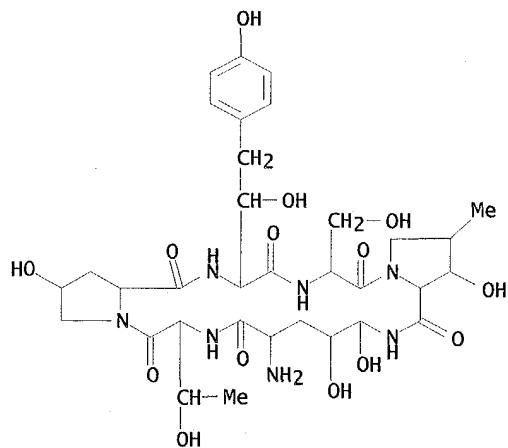


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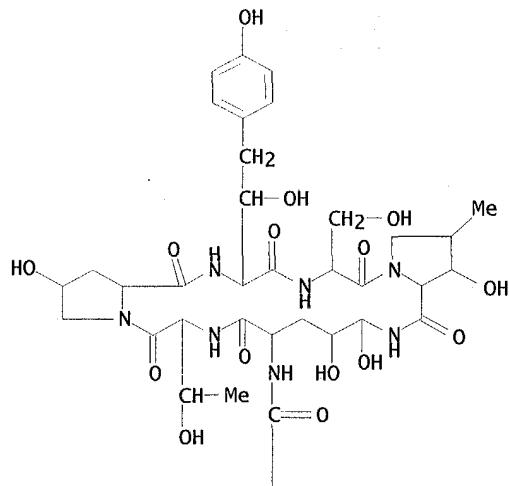
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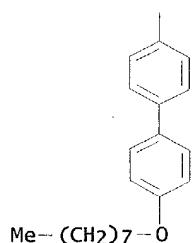
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PAGE 1-A

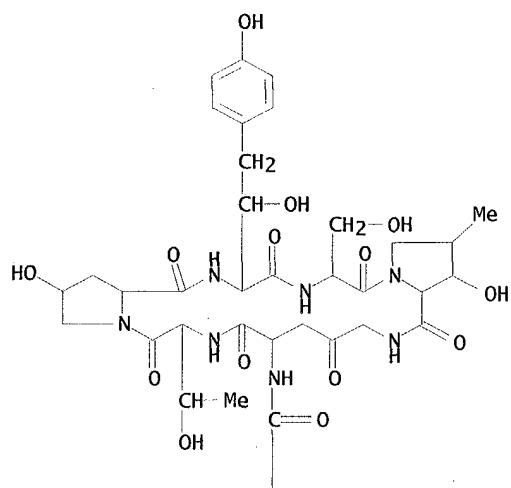


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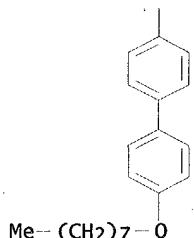


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PAGE 1-A



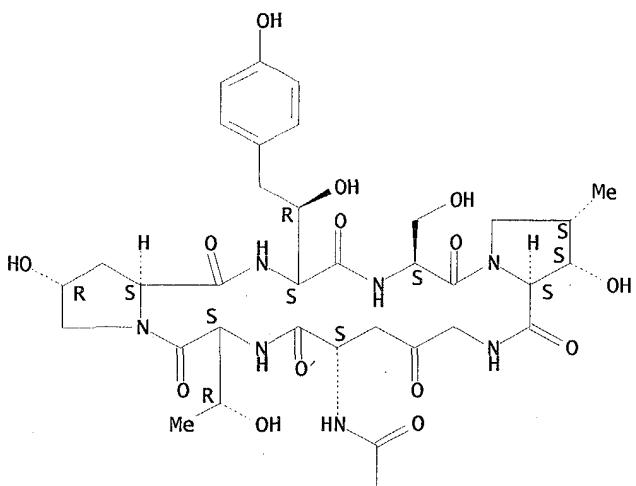
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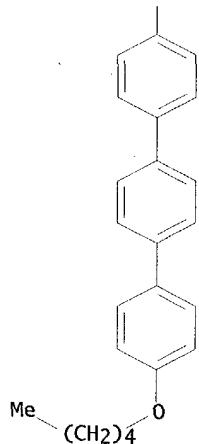
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Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



IC ICM C07K007-56
ICS A61K038-12; A61P031-10
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 7, 10, 63
ST echinocandin cyclic peptide prepn antifungal glucan synthase inhibitor
IT Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic; echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)
IT Aspergillus fumigatus
Fungicides
(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)
IT 9027-19-4, Glucan synthase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)

(*Candida albicans*; echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

IT 310461-86-0P 310461-89-3P 310461-95-1P

310461-97-3P 310461-99-5P 310462-01-2P

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(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

IT 538-75-0, N,N'-Dicyclohexylcarbodiimide 771-61-9, 2,3,4,5,6-
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20439-47-8 59748-18-4 138626-63-8, Deoxymulundocandin
227472-60-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

IT 160430-95-5P 227472-53-9P 227472-54-0P

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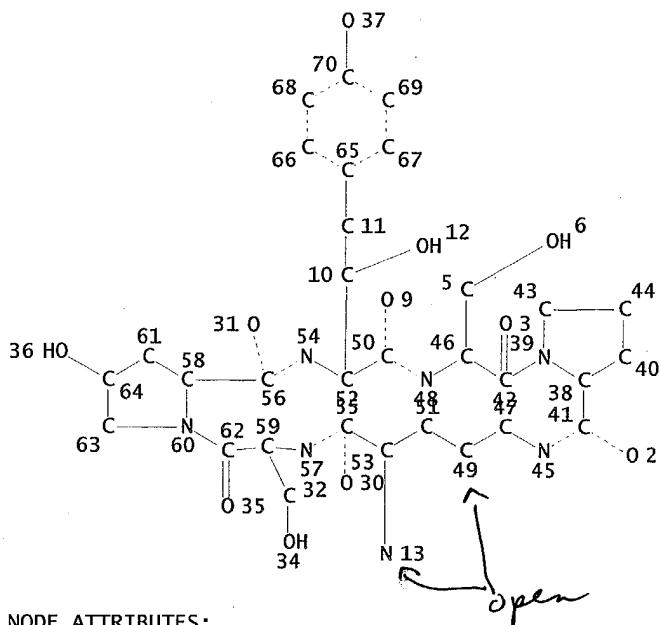
(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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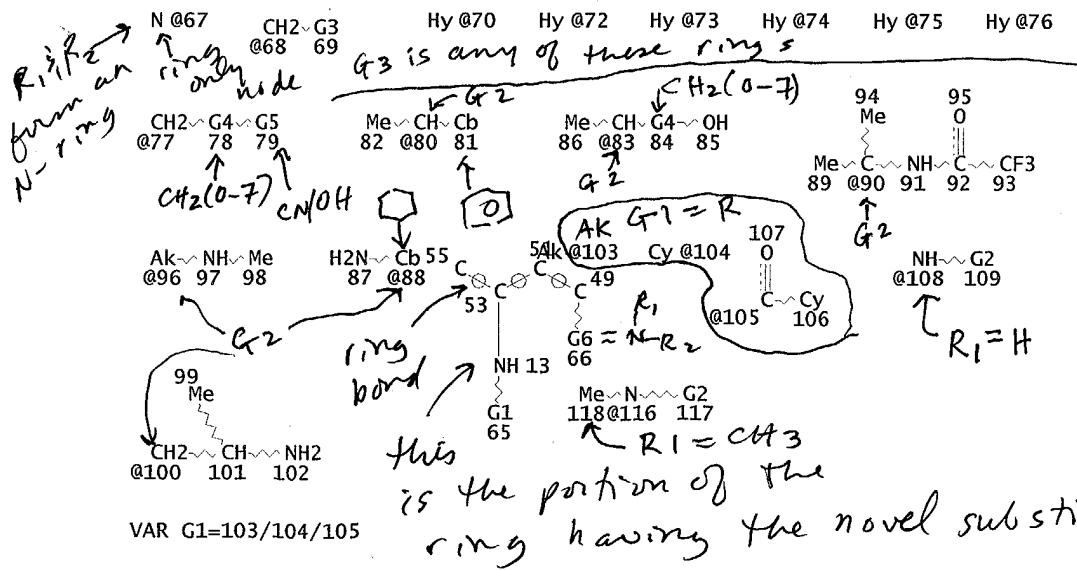
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NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

STEREO ATTRIBUTES: NONE
L16 2586 SEA FILE=REGISTRY SSS FUL L14 2586 cpd5
L36 STR sub set Search



ring node definitions

$$70 =$$

$$72 = \boxed{13}$$

$$73 = \boxed{10}$$

74

$$75 = \boxed{11}$$

76 = pyridine

VAR G2=67/68/77/88/83/80/96/100

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VAR G5=CN/OH

VAR G6=116/67/108

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ECOUNT IS E4 C E1 N AT 72

ECOUNT IS E8 C E1 N AT 73

ECOUNT IS E3 C E2 N AT 74

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NUMBER OF NODES IS 52

STEREO ATTRIBUTES: NONE

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L38 2 SEA FILE=CAPLUS ABB=ON PLU=ON L37 2 ci + es

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L38 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:881187 CAPLUS

DOCUMENT NUMBER: 134:17732

TITLE: Novel echinocandin derivatives, method for preparing same and use as antifungal agents

INVENTOR(S): Corbier, Alain; Fauveau, Patrick; Pietre-Dischamp, Nathalie; Schio, Laurent; Vicat, Pascale

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2794747	A1	20001215	FR 1999-7252	19990609
EP 1189932	A1	20020327	EP 2000-940456	20000608

EP 1189932 B1 20030521
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 IE, SI, LT, LV, FI, RO
 JP 2003504309 T2 20030204 JP 2001-502459 20000608
 AT 240971 E 20030615 AT 2000-940456 20000608
 PRIORITY APPLN. INFO.: FR 1999-7252 A 19990609
 WO 2000-FR1569 W 20000608
 OTHER SOURCE(S): CASREACT 134:17732; MARPAT 134:17732
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

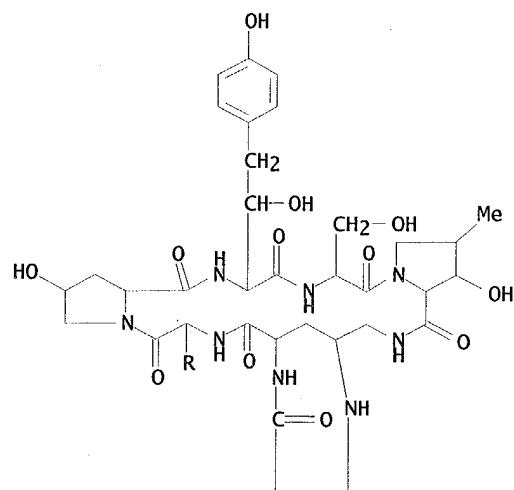
AB The invention concerns cyclic peptides I wherein: R = chain contg. up to 30 carbon atoms, optionally contg. one or several heteroatoms, one or several heterocycles; either R1 and R2 = H, OH, alkyl optionally substituted, or NR1 forms with the carbon bearing NR1R2 a double bond and R2 is XRa, X being O, NH or N-alkyl and Ra being H, alkyl optionally substituted; R3 = H, OH, CH3; R4 = H, OH; T = H, CH3, CH2CONH2, CH2CN, (CH2)2NH2; Y = H, OH, halogen, OSO3H; W = H, OH; Z = H or CH3. The products of formula I have antifungal properties. Thus, trans-1-[4-[(2-aminocyclo-hexyl)amino]-N2-[[4-[5-[4-(pentyloxy)phenyl]-3-isoxazolyl]phenyl]carbonyl]-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandin B trifluoroacetate was prep'd. and tested for its inhibition of glucan synthase of *Candida albicans*.
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 310459-30-4P 310459-33-7P 310459-36-0P
 310459-39-3P 310459-42-8P 310459-58-6P
 310459-61-1P 310459-67-7P 310459-70-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (novel echinocandin derivs. method for prep. same and use as glucan synthase inhibitors and antifungal agents)
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 CN Deoxymulundocandin, 1-[(4R)-4-[[[(1R,2R)-2-aminocyclohexyl]amino]-N2-[4-[5-[4-(pentyloxy)phenyl]-3-isoxazolyl]benzoyl]-L-ornithine]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

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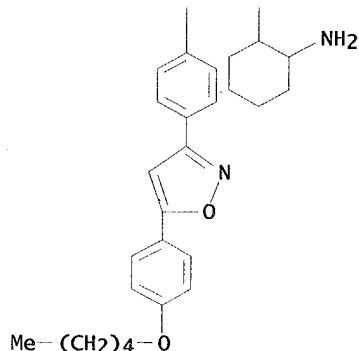
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KAM 10/018,073

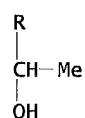
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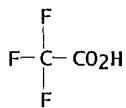


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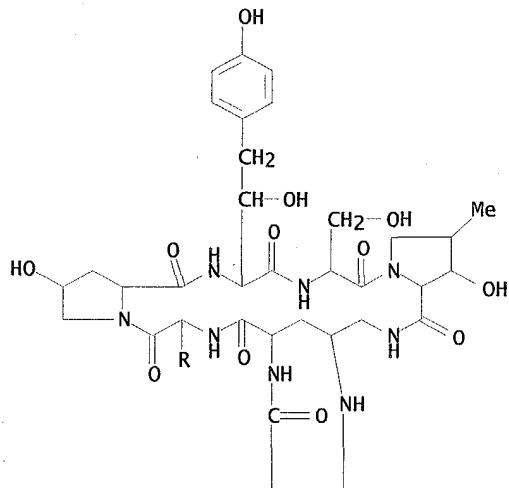


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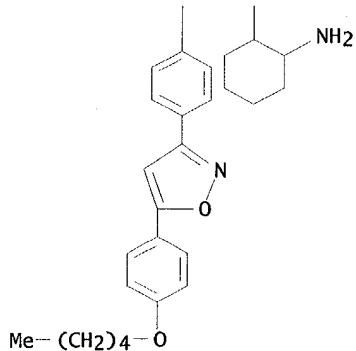
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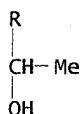
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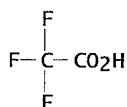
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PAGE 3-A



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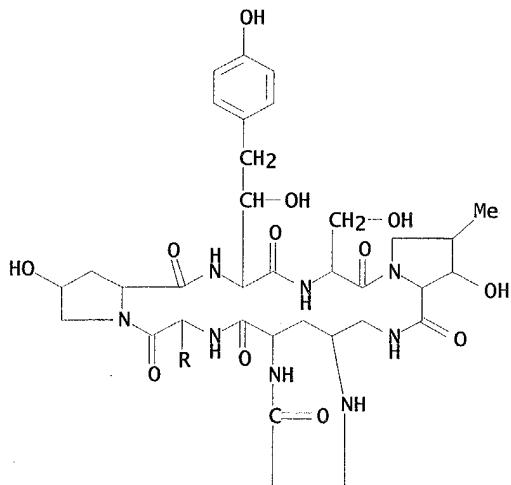
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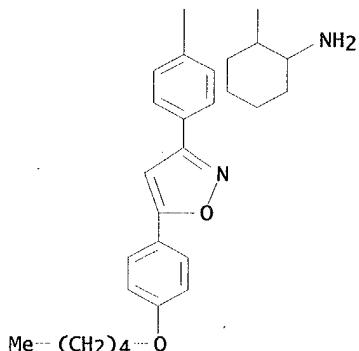
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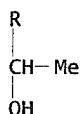
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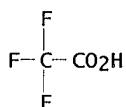
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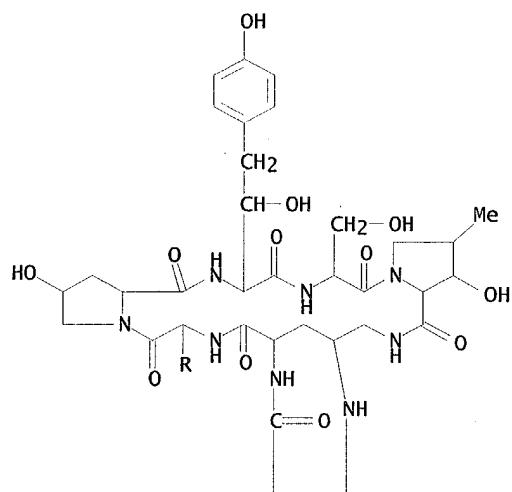
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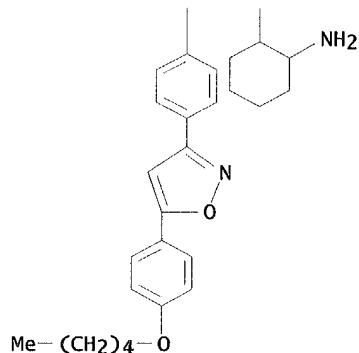
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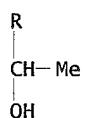
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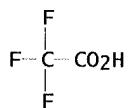


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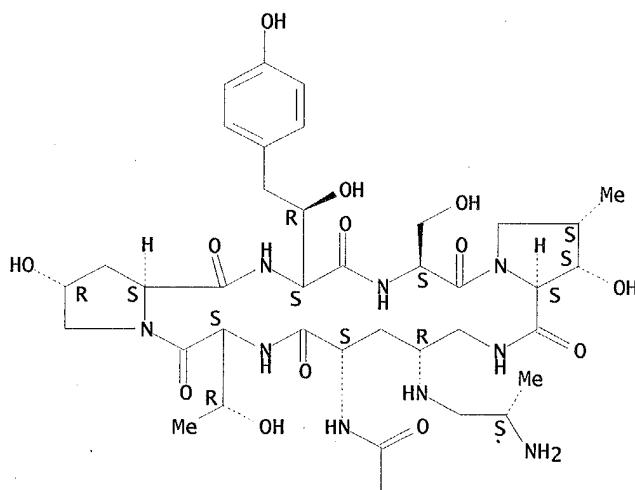
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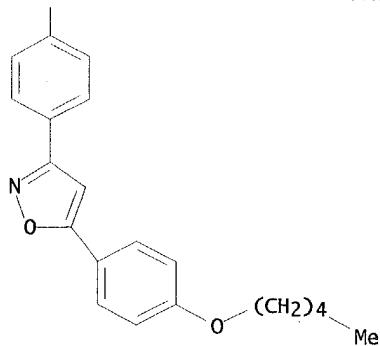
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Absolute stereochemistry.

PAGE 1-A



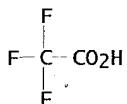
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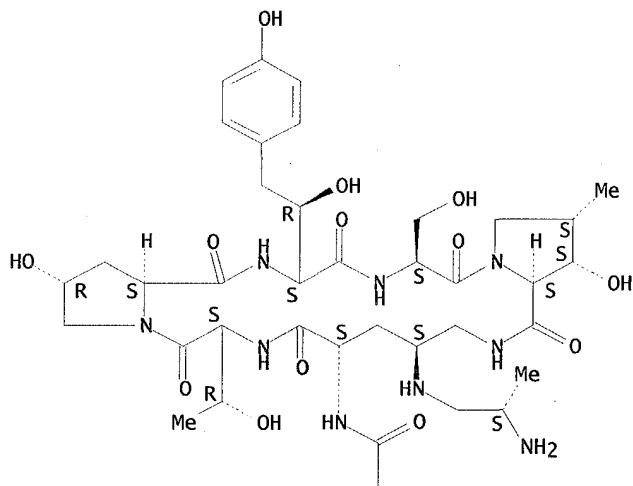
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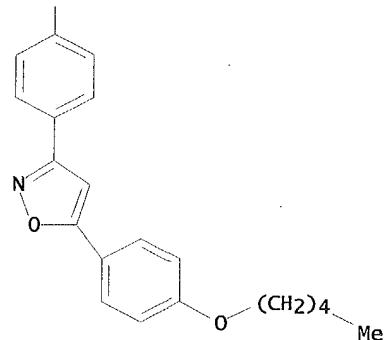
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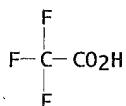
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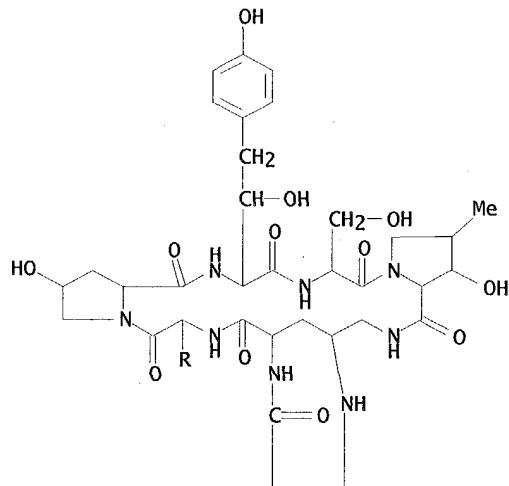
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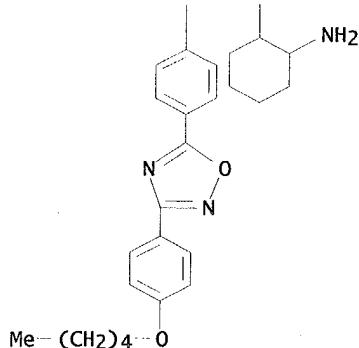
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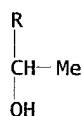


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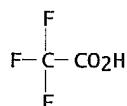


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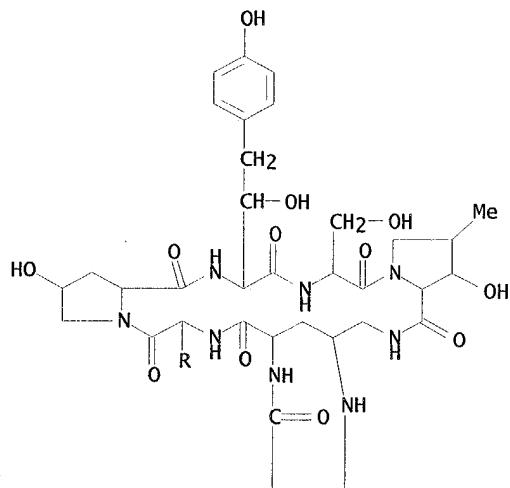
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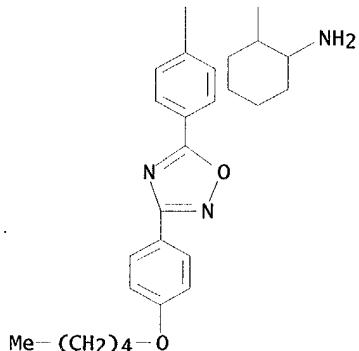
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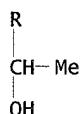
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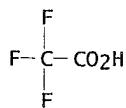


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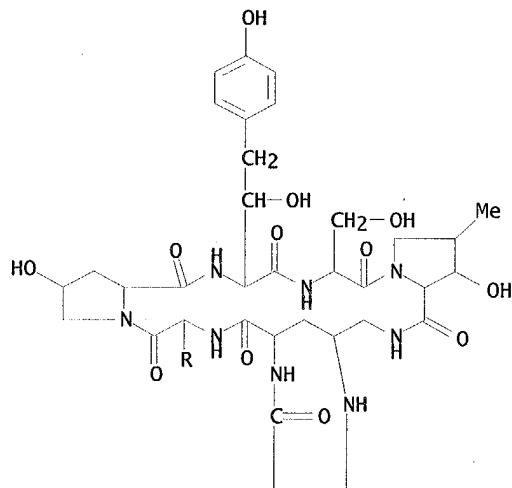


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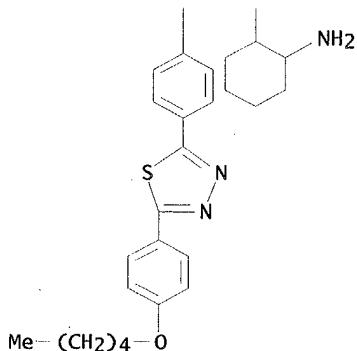
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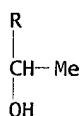
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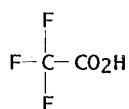
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PAGE 3-A



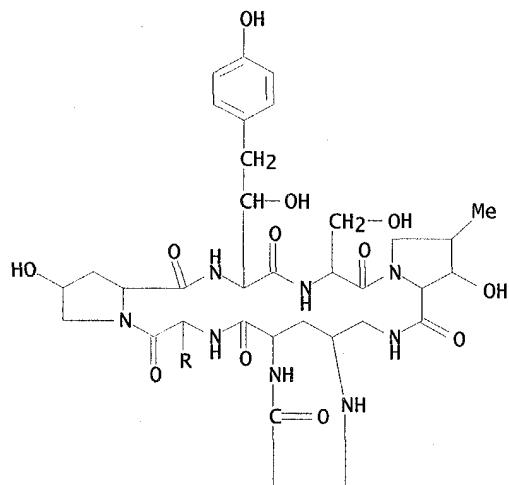
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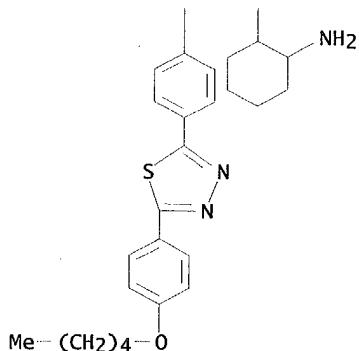
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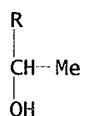


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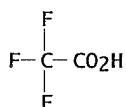


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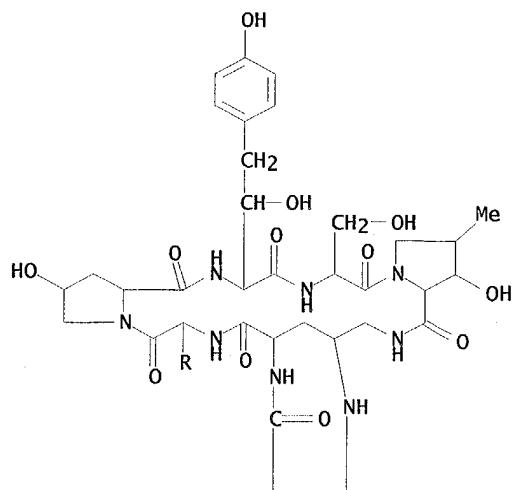
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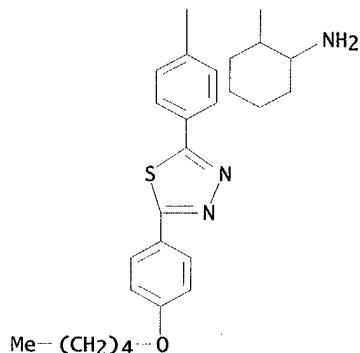
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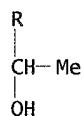
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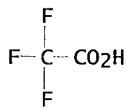


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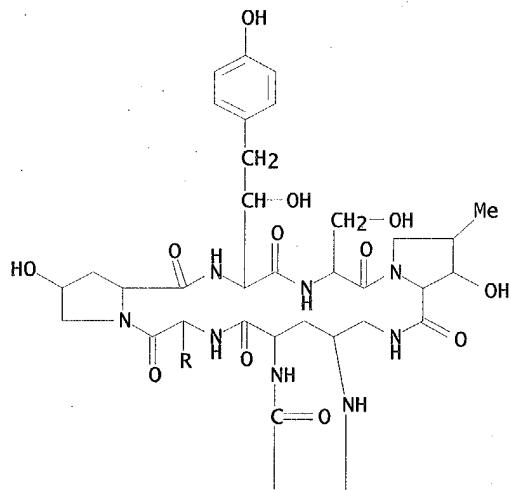
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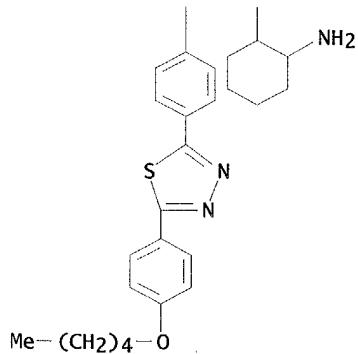
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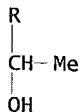
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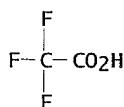
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PAGE 3-A



CM 2

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L38 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:881186 CAPLUS

DOCUMENT NUMBER: 134:17731

TITLE: Echinocandin derivatives, method for preparing same and application as glucan synthase inhibitors and antifungal agents

INVENTOR(S): Fauveau, Patrick; Hawser, Stephen; Lebourg, Gilles;

Schio, Laurent

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1189933	A1	20020327	EP 2000-942169	20000608
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			WO 2000-FR1568 W	20000608
OTHER SOURCE(S): GI			CASREACT 134:17731; MARPAT 134:17731	

same citation as
the inventor
search

cdps are printed
out to confirm
that the search
picked up all of
the str's in the
inventor search

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns in all possible isomeric forms as well as their mixts., cyclic peptides I wherein: R represents a linear, branched or cyclic chain; either R1 represents H or CH₃ and R2 represents cyclohexyl substituted by an amine, cyanoalkyl ; or R1 and R2 form with the nitrogen which bears them a cycle with 3, 4 or 5 carbons optionally substituted by an amine; R3 represents hydrogen, Me or hydroxyl; R4 represents hydrogen or hydroxyl; T represents hydrogen, Me, CH₂CONH₂, CH₂CN, a (CH₂)₂NH₂ or (CH₂)₂Nalk₂X- radical, X being halogen and alk an alkyl radical; Y represents hydrogen, hydroxyl, halogen or OSO₃H; W represents H or OH; Z represents H, CH₃. The compds. of formula I have antifungal properties. Thus, Trans 1-[4-[(2-aminocyclohexyl)amino]-N2-[[4'-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl]carbonyl]-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandine B trifluoroacetate was prep'd. and tested for its inhibition of glucan synthase of *Candida albicans* and of the enzyme prep'd. from *Aspergillus fumigatus*.

IT 310461-86-0P 310461-89-3P 310461-95-1P
310461-97-3P 310461-99-5P 310462-01-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(echinocandin derivs., method for prep. same and application as glucan synthase inhibitors and antifungal agents)

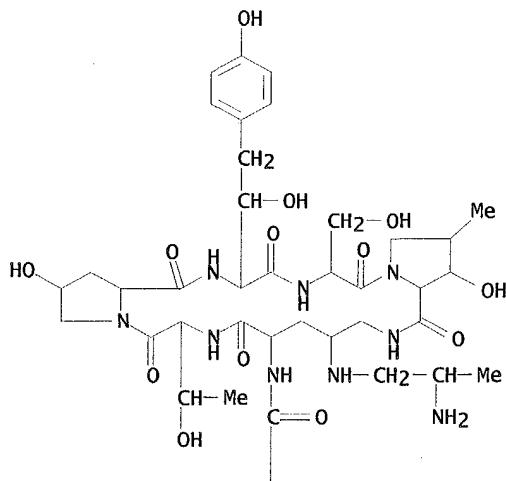
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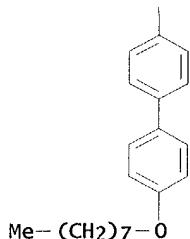
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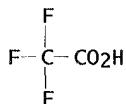
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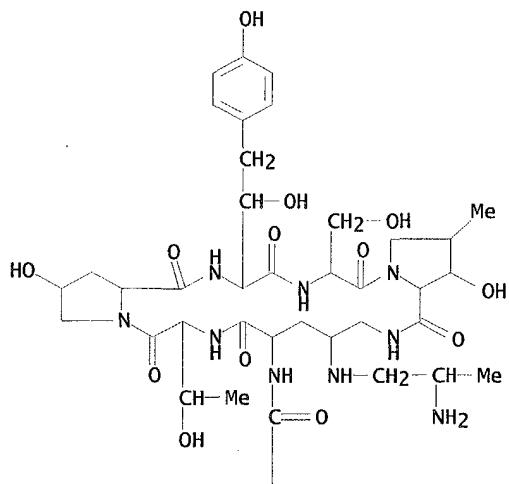
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CRN 76-05-1
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(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, trifluoroacetate
(salt) (9CI) (CA INDEX NAME)

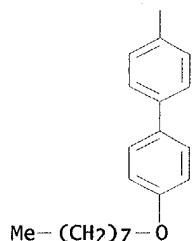
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CRN 310461-88-2
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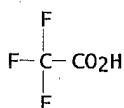
PAGE 1-A



PAGE 2-A



CM 2

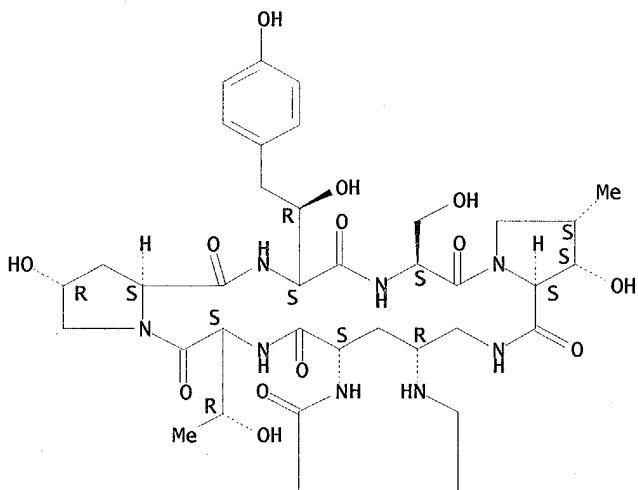
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CN Deoxymulundocandin, 1-[(4R)-4-[(1H-benzimidazol-2-ylmethyl)amino]-N2-[[4'-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl]carbonyl]-L-ornithine]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

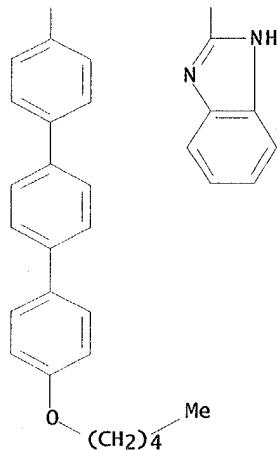
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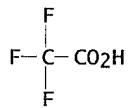
Absolute stereochemistry.

PAGE 1-A





CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 310461-97-3 CAPLUS
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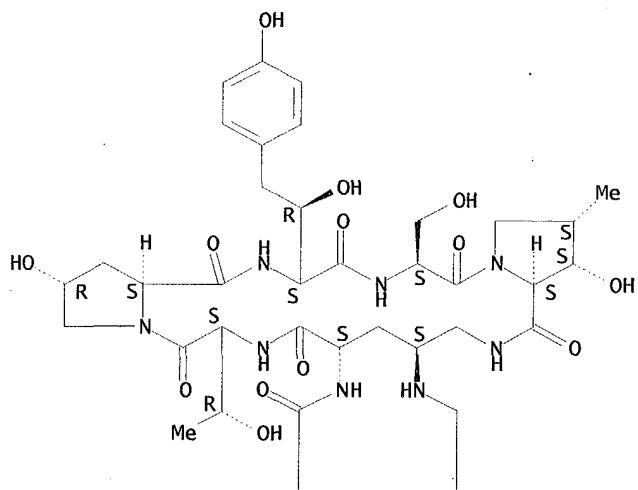
CM 1

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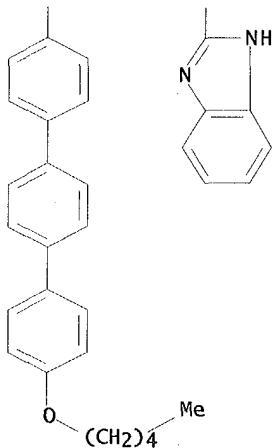
Absolute stereochemistry.

KAM 10/018,073

PAGE 1-A

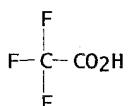


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 310461-99-5 CAPLUS
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KAM 10/018,073

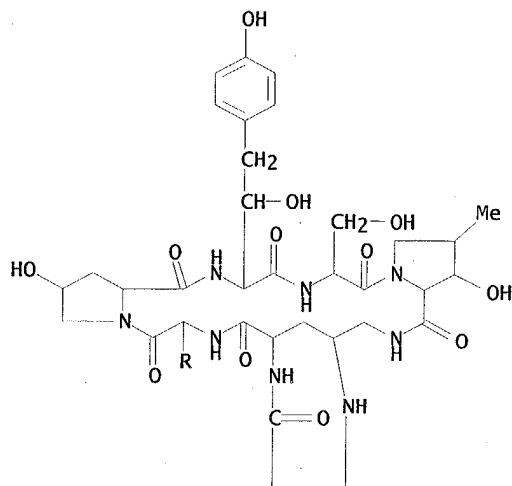
trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

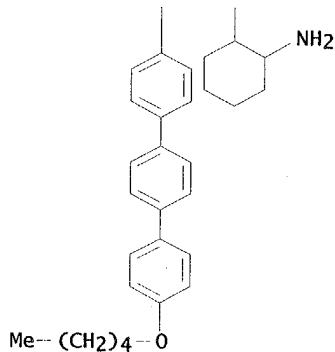
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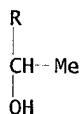
PAGE 1-A



PAGE 2-A



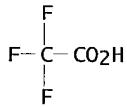
PAGE 3-A



CM 2

CRN 76-05-1

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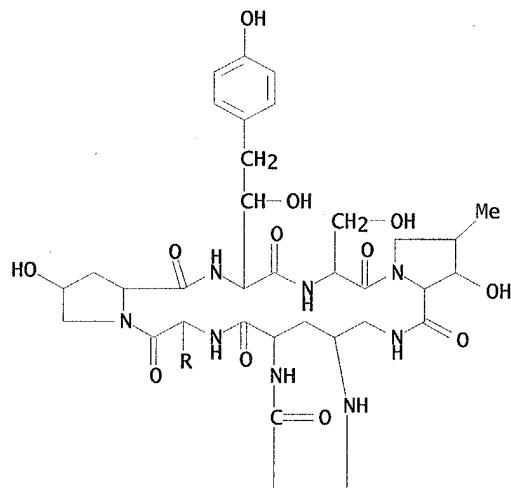


RN 310462-01-2 CAPLUS
CN Deoxymulundocandin, 1-[(4S)-4-[[[(1R,2R)-2-aminocyclohexyl]amino]-N2-[[4''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl]-L-ornithine]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

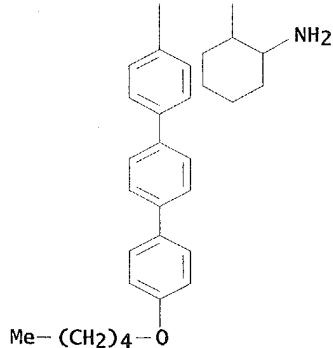
CM 1

CRN 310462-00-1
CMF C63 H83 N9 O14

PAGE 1-A

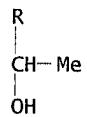


PAGE 2-A



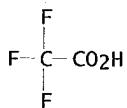
KAM 10/018,073

PAGE 3-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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